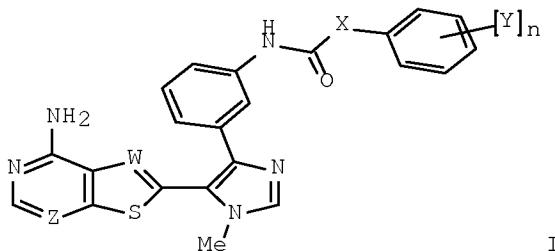


L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:823713 CAPLUS Full-text
 DN 143:211925
 TI Preparation of substituted thieno[2,3-d]pyrimidines and
 thiazolo[2,3-d]pyrimidines as inhibitors of Tie-2 receptor tyrosine kinase
 (TEK)
 IN Jones, Clifford David; Luke, Richard William Arthur; Mccoull, William
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005075483	A1	20050818	WO 2005-GB339	20050201
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1716155	A1	20061102	EP 2005-702081	20050201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	CN 1942475	A	20070404	CN 2005-80011955	20050201
	JP 2007520536	T	20070726	JP 2006-551903	20050201
	US 2007135455	A1	20070614	US 2006-588621	20060804
	IN 2006MN01015	A	20070629	IN 2006-MN1015	20060828
PRAI	GB 2004-2518	A	20040205		
	WO 2005-GB339	W	20050201		
OS	CASREACT 143:211925; MARPAT 143:211925				
GI					



AB The title compds. I [Z, W = N, CH; X = NH, CH2; Y = F, Cl, Br, I; n = 1-3] were prepared for use in the production of an anti-angiogenic effect in a warm blooded animal such as man. Thus, reacting 6-[4-(3-aminophenyl)-1-methyl- 1H-imidazol-5-yl]thieno[2,3-d]pyrimidin-4-amine (preparation given) with 3-fluorophenyl isocyanate in THF afforded 77% I [W = CH; Z = N; X = NH; Y = 3-F] which showed IC50 of 16 μ M in in vitro Tie-2 receptor tyrosine kinase inhibition assay, and IC50 of 0.4 μ M in cellular Tie-2 autophosphorylation assay. The pharmaceutical composition comprising the compound I is disclosed.

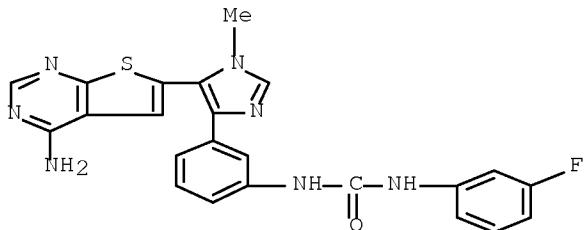
IT 862460-49-9P 862460-50-2P 862460-51-3P
 862460-52-4P 862460-53-5P 862460-54-6P
 862460-55-7P 862460-56-8P 862460-57-9P

862460-58-0P 862460-59-1P 862460-60-4P
862460-61-5P 862460-62-6P 862460-63-7P
862460-64-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted thieno[2,3-d]pyrimidines and thiazolo[2,3-d]pyrimidines as inhibitors of Tie-2 for use in the production of an anti-angiogenic effect)

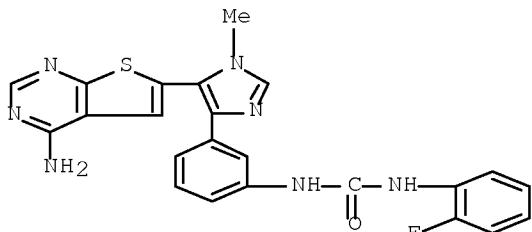
RN 862460-49-9 CAPLUS

CN Urea, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(3-fluorophenyl)- (CA INDEX NAME)



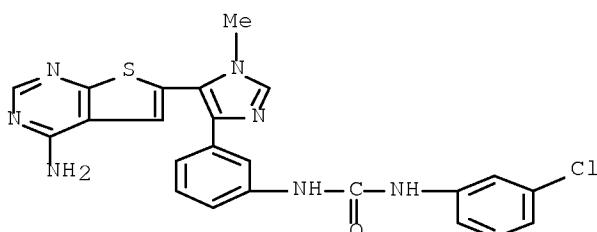
RN 862460-50-2 CAPLUS

CN Urea, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



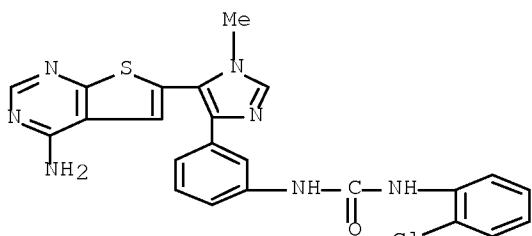
RN 862460-51-3 CAPLUS

CN Urea, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(3-chlorophenyl)- (CA INDEX NAME)



RN 862460-52-4 CAPLUS

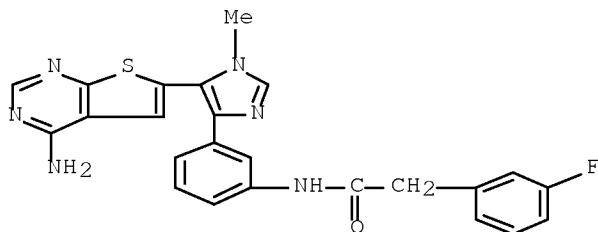
CN Urea, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(2-chlorophenyl)- (CA INDEX NAME)



RN 862460-53-5 CAPLUS

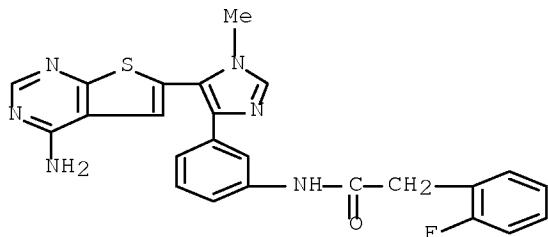
CN Benzeneacetamide, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-

imidazol-4-yl]phenyl]-3-fluoro- (CA INDEX NAME)



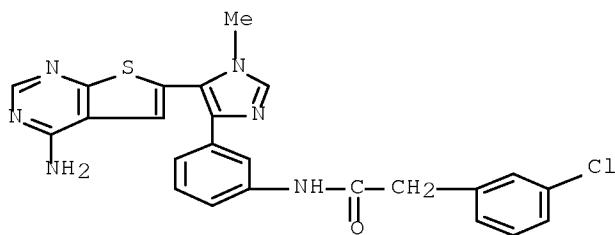
RN 862460-54-6 CAPLUS

CN Benzeneacetamide, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-2-fluoro- (CA INDEX NAME)



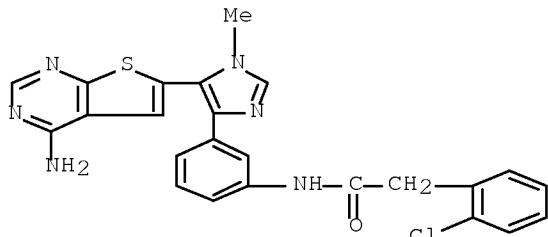
RN 862460-55-7 CAPLUS

CN Benzeneacetamide, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-chloro- (CA INDEX NAME)



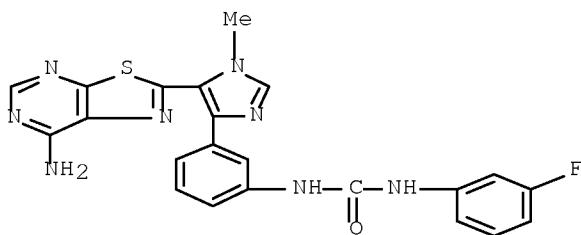
RN 862460-56-8 CAPLUS

CN Benzeneacetamide, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-2-chloro- (CA INDEX NAME)



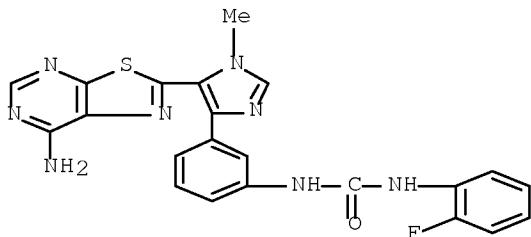
RN 862460-57-9 CAPLUS

CN Urea, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(3-fluorophenyl)- (CA INDEX NAME)



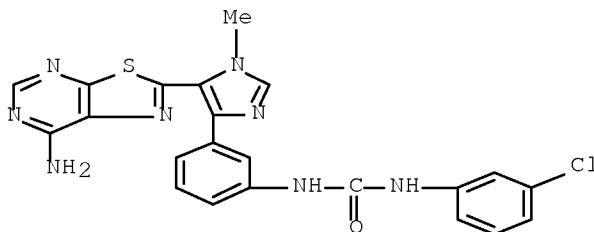
RN 862460-58-0 CAPLUS

CN Urea, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



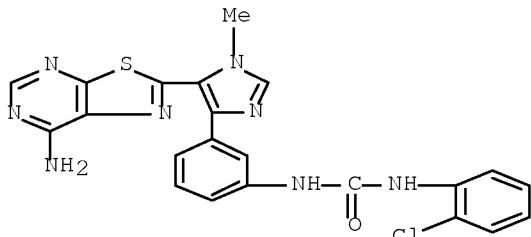
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CN Urea, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(3-chlorophenyl)- (CA INDEX NAME)



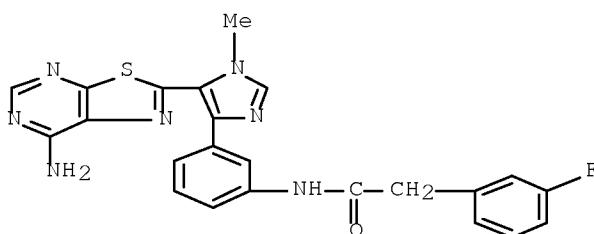
RN 862460-60-4 CAPLUS

CN Urea, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-(2-chlorophenyl)- (CA INDEX NAME)



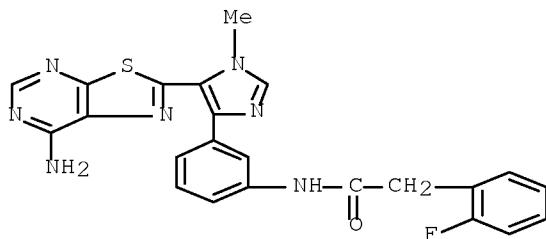
RN 862460-61-5 CAPLUS

CN Benzeneacetamide, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-fluoro- (CA INDEX NAME)



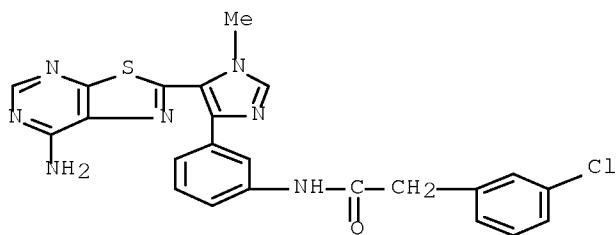
RN 862460-62-6 CAPLUS

CN Benzeneacetamide, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-2-fluoro- (CA INDEX NAME)



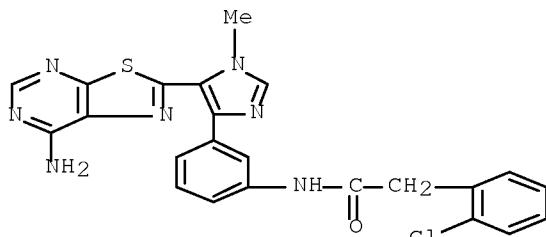
RN 862460-63-7 CAPLUS

CN Benzeneacetamide, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-chloro- (CA INDEX NAME)



RN 862460-64-8 CAPLUS

CN Benzeneacetamide, N-[3-[5-(7-aminothiazolo[5,4-d]pyrimidin-2-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-2-chloro- (CA INDEX NAME)



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:120856 CAPLUS Full-text
 DN 140:163889
 TI Preparation of condensed pyridines and pyrimidines as Tie2 receptor tyrosine kinase inhibitors and their anti-angiogenic effect
 IN Luke, Richard William Arthur; Jones, Clifford David; McCoull, William; Hayter, Barry Raymond
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 184 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004013141	A1	20040212	WO 2003-GB3275	20030801
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2494421	A1	20040212	CA 2003-2494421	20030801
	AU 2003246972	A1	20040223	AU 2003-246972	20030801
	EP 1537112	A1	20050608	EP 2003-766443	20030801
	EP 1537112	B1	20060419		
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	BR 2003013078	A	20050712	BR 2003-13078	20030801
	CN 1688579	A	20051026	CN 2003-823754	20030801
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	AT 323702	T	20060515	AT 2003-766443	20030801
	NO 2005000418	A	20050428	NO 2005-418	20050125
	ZA 2005000863	A	20060222	ZA 2005-863	20050128
	MX 2005PA01389	A	20050428	MX 2005-PA1389	20050203
	US 2005256140	A1	20051117	US 2005-523401	20050203
PRAI	GB 2002-18168	A	20020806		
	GB 2003-12356	A	20030530		
	WO 2003-GB3275	W	20030801		
OS	MARPAT	140:163889			
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

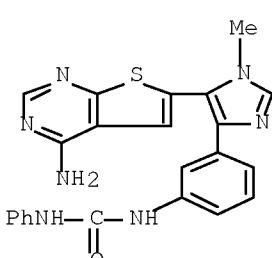
AB Title compds. I [wherein ACC = fused 5-membered heteroaryl ring; G = O, S and NH and derivs.; Z = N and CH and derivs.; Q1 = (un)substituted hetero/aryl; R1 = H, halo, CF₃, CN, NO₂, OH and derivs., NH₂ and derivs., SH and derivs., N-alkyl/N,N-dialkyl/carbamoyl, alk(en/yn)yl, N-alkyl/alkanesulfonylamino, N-alkylsulfamoyl, etc.; R2 = H, OH, halo, alkyl, alkoxy, formyl, alkyl/dialkyl/amino; R3 = independently as defined for R4, provided that R3 is not H, and when R3 is attached to a N atom in A, R3 is not halo; R4 = H, halo, CF₃, OCF₃, CN, NO₂, OH and derivs., SH and derivs., NH₂ and derivs., formyl, CO₂H and derivs., carbamoyl, N-alkyl/N,N-dialkyl/sulfamoyl, alk(en/yn)yl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, alkanesulfonylamino, etc.] were prepared as Tie2 receptor tyrosine kinase inhibitors for use in the production of an anti-angiogenic effect in a warm-

blooded animal. Thus, reacting II (preparation given) with 1-[(isocyanophenylmethyl)sulfonyl]-4-methylbenzene in the presence of piperazine/THF for 6 days gave the thieno[2,3-d]pyrimidine III in 48% yield. In a cellular assay, II inhibited autophosphorylation of the Tie2 receptor with an IC₅₀ value of 2.2 μ M. I are angiogenesis inhibitors for treating neoplasm (no data).

IT 655256-44-3P, 1-[3-[5-(4-Aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-phenylurea 655256-48-7P, 1-[3-[5-(4-Aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]urea 655256-49-8P, 1-[4-[5-(4-Aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-phenylurea 655256-53-4P, 1-[4-[5-(4-Aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Tie2 receptor tyrosine kinase inhibitor; preparation of condensed pyridines and pyrimidines as Tie2 receptor tyrosine kinase inhibitors)

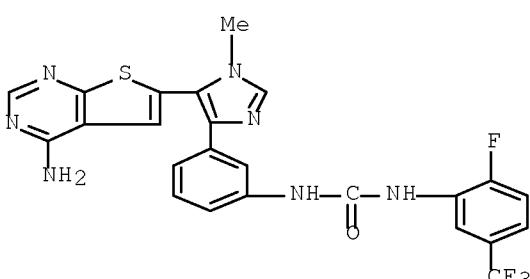
RN 655256-44-3 CAPPLUS

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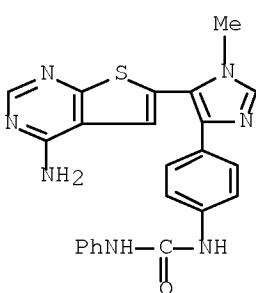
RN 655256-48-7 CAPPLUS

CN Urea, N-[3-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



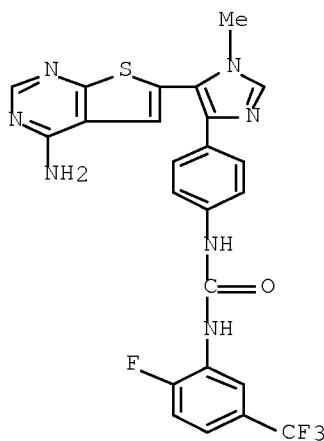
RN 655256-49-8 CAPPLUS

CN Urea, N-[4-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-phenyl- (CA INDEX NAME)



RN 655256-53-4 CAPLUS

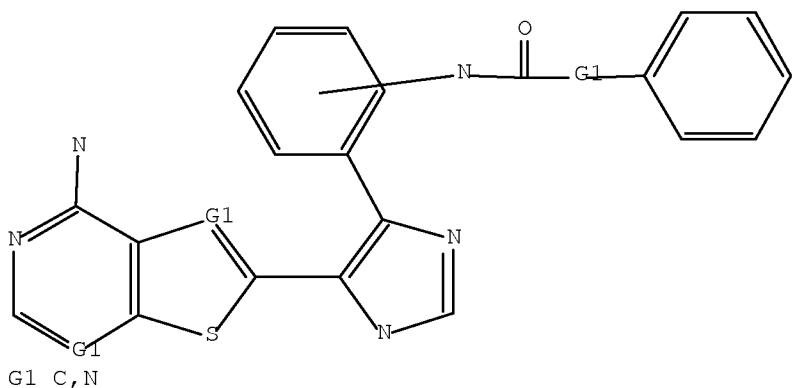
CN Urea, N-[4-[5-(4-aminothieno[2,3-d]pyrimidin-6-yl)-1-methyl-1H-imidazol-4-yl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



=> d 12; d his; log y

L2 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L2 QUE ABB=ON PLU=ON L1

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FILE 'REGISTRY' ENTERED AT 09:48:28 ON 21 NOV 2007

L1 STRUCTURE uploaded

L2 QUE L1

L3 2 S L1

L4 20 S L1 FUL

FILE 'CAPLUS' ENTERED AT 09:49:07 ON 21 NOV 2007

L5 2 S L4

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.01	183.32
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-1.56

STN INTERNATIONAL LOGOFF AT 09:49:48 ON 21 NOV 2007